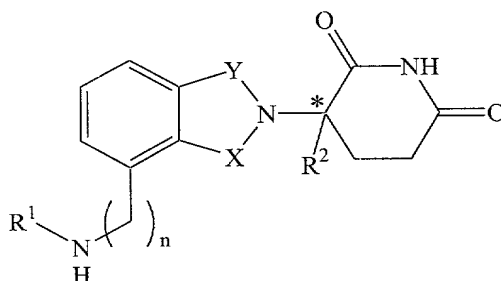


What is claimed is:

1. A compound of the formula:



or a pharmaceutically acceptable salt, hydrate, solvate, clathrate, enantiomer, diastereomer, racemate, or mixture of stereoisomers thereof, wherein:

one of X and Y is C=O and the other is CH<sub>2</sub> or C=O;

R<sup>1</sup> is H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl, C(O)R<sup>3</sup>, C(S)R<sup>3</sup>, C(O)OR<sup>4</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-N(R<sup>6</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-C(O)OR<sup>5</sup>, C(O)NHR<sup>3</sup>, C(S)NHR<sup>3</sup>, C(O)NR<sup>3</sup>R<sup>3'</sup>, C(S)NR<sup>3</sup>R<sup>3'</sup> or (C<sub>1</sub>-C<sub>8</sub>)alkyl-O(CO)R<sup>5</sup>;

R<sup>2</sup> is H, F, benzyl, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, or (C<sub>2</sub>-C<sub>8</sub>)alkynyl;

R<sup>3</sup> and R<sup>3'</sup> are independently (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl, (C<sub>0</sub>-C<sub>8</sub>)alkyl-N(R<sup>6</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-C(O)OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O(CO)R<sup>5</sup>, or C(O)OR<sup>5</sup>;

R<sup>4</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, (C<sub>1</sub>-C<sub>4</sub>)alkyl-OR<sup>5</sup>, benzyl, aryl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, or (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl;

R<sup>5</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, or (C<sub>2</sub>-C<sub>5</sub>)heteroaryl;

each occurrence of  $R^6$  is independently H,  $(C_1-C_8)$ alkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl,  $(C_2-C_5)$ heteroaryl, or  $(C_0-C_8)$ alkyl-C(O)O- $R^5$  or the  $R^6$  groups can join to form a heterocycloalkyl group;

5 n is 0 or 1; and the \* represents a chiral-carbon center; with the proviso that when n is 0 then  $R^1$  is not H.

2. The compound of claim 1, wherein the compound is the R-enantiomer or substantially R.

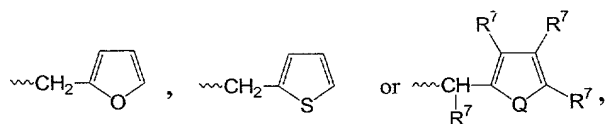
3. The compound of claim 1, wherein the compound is the S-enantiomer or substantially S.

4. The compound of claim 1, wherein the compound is a racemic mixture.

5. The compound of claim 1, wherein the enantiomeric excess is about 90% ee or more.

6. A compound of claim 1, wherein  $R^2$  is H or  $(C_1-C_4)$ alkyl.

7. A compound of claim 1, wherein  $R^1$  is H,  $(C_1-C_4)$ alkyl,  $CH_2OCH_3$ ,  $CH_2CH_2OCH_3$ , or

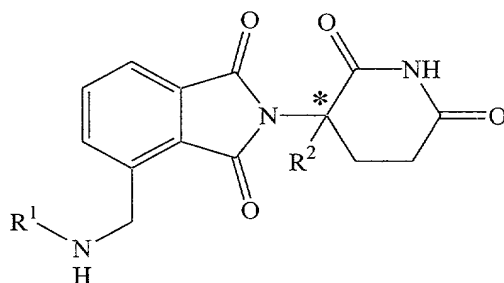


wherein Q is O or S, and each occurrence of  $R^7$  is independently H,  $(C_1-C_8)$ alkyl,  $(C_3-C_7)$ cycloalkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl, halogen,  $(C_0-C_4)$ alkyl- $(C_1-C_6)$ heterocycloalkyl,  $(C_0-C_4)$ alkyl- $(C_2-C_5)$ heteroaryl,  $(C_0-C_8)$ alkyl-N( $R^6$ )<sub>2</sub>,  $(C_1-C_8)$ alkyl-OR<sup>5</sup>,  $(C_1-C_8)$ alkyl-C(O)OR<sup>5</sup>,  $(C_1-C_8)$ alkyl-O(CO)R<sup>5</sup>, or C(O)OR<sup>5</sup>, or adjacent occurrences of  $R^7$  can be taken together to form a bicyclic alkyl or aryl ring.

8. A compound of claim 1, wherein  $R^1$  is C(O)R<sup>3</sup>.

9. A compound of claim 1, wherein R<sup>1</sup> is C(O)OR<sup>4</sup>.

10. The compound of claim 1 having the formula:



15 or a pharmaceutically acceptable salt, hydrate, solvate, clathrate, enantiomer, diastereomer, racemate, or mixture of stereoisomers thereof, wherein:

R<sup>1</sup> is H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl, C(O)R<sup>3</sup>, C(S)R<sup>3</sup>,  
20 C(O)OR<sup>4</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-N(R<sup>6</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-C(O)OR<sup>5</sup>, C(O)NHR<sup>3</sup>, C(S)NHR<sup>3</sup>, C(O)NR<sup>3</sup>R<sup>3'</sup>, C(S)NR<sup>3</sup>R<sup>3'</sup> or (C<sub>1</sub>-C<sub>8</sub>)alkyl-O(CO)R<sup>5</sup>;

R<sup>2</sup> is H or (C<sub>1</sub>-C<sub>8</sub>)alkyl;

25 R<sup>3</sup> and R<sup>3'</sup> are independently (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl, (C<sub>0</sub>-C<sub>8</sub>)alkyl-N(R<sup>6</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-C(O)OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O(CO)R<sup>5</sup>, or C(O)OR<sup>5</sup>;

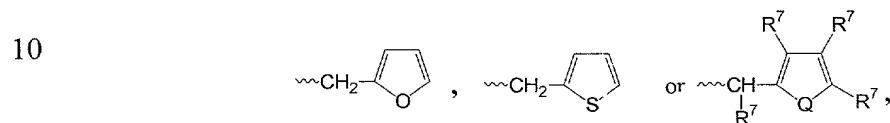
30 R<sup>4</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, (C<sub>1</sub>-C<sub>4</sub>)alkyl-OR<sup>5</sup>, benzyl, aryl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, or (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl;

R<sup>5</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, or (C<sub>2</sub>-C<sub>5</sub>)heteroaryl;

each occurrence of  $R^6$  is independently H,  $(C_1-C_8)$ alkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl,  $(C_2-C_5)$ heteroaryl, or  $(C_0-C_8)$ alkyl-C(O)O- $R^5$  or the  $R^6$  groups can join to form a heterocycloalkyl group; and

5 the \* represents a chiral-carbon center.

11. A compound of claim 10, wherein  $R^1$  is H,  $(C_1-C_4)$ alkyl,  $CH_2OCH_3$ ,  $CH_2CH_2OCH_3$ , or

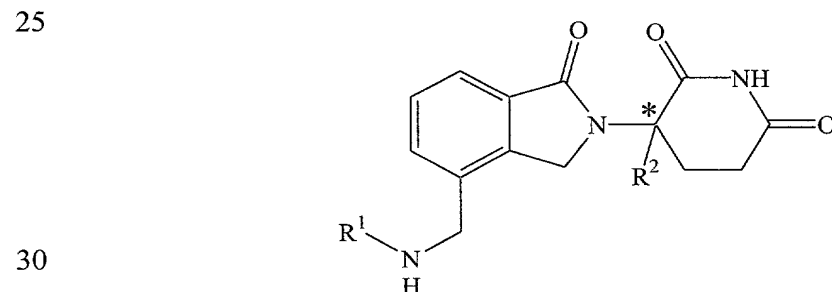


wherein Q is O or S, and each occurrence of  $R^7$  is independently H,  $(C_1-C_8)$ alkyl,  $(C_3-C_7)$ cycloalkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl, halogen,   
 15  $(C_0-C_4)$ alkyl- $(C_1-C_6)$ heterocycloalkyl,  $(C_0-C_4)$ alkyl- $(C_2-C_5)$ heteroaryl,  $(C_0-C_8)$ alkyl-N( $R^6$ )<sub>2</sub>,  $(C_1-C_8)$ alkyl-OR<sup>5</sup>,  $(C_1-C_8)$ alkyl-C(O)OR<sup>5</sup>,  $(C_1-C_8)$ alkyl-O(CO)R<sup>5</sup>, or C(O)OR<sup>5</sup>, or adjacent occurrences of  $R^7$  can be taken together to form a bicyclic alkyl or aryl ring.

20 12. A compound of claim 10, wherein  $R^1$  is C(O)R<sup>3</sup>.

13. A compound of claim 10, wherein  $R^1$  is C(O)OR<sup>4</sup>.

14. The compound of claim 1 having the formula:



or a pharmaceutically acceptable salt, hydrate, solvate, clathrate, enantiomer, diastereomer, racemate, or mixture of stereoisomers thereof, wherein:

35

R<sup>1</sup> is H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl, C(O)R<sup>3</sup>, C(S)R<sup>3</sup>, C(O)OR<sup>4</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-N(R<sup>6</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-C(O)OR<sup>5</sup>, C(O)NHR<sup>3</sup>, C(S)NHR<sup>3</sup>, C(O)NR<sup>3</sup>R<sup>3'</sup>, C(S)NR<sup>3</sup>R<sup>3'</sup> or (C<sub>1</sub>-C<sub>8</sub>)alkyl-O(CO)R<sup>5</sup>;

5

R<sup>2</sup> is H or (C<sub>1</sub>-C<sub>8</sub>)alkyl;

R<sup>3</sup> and R<sup>3'</sup> are independently (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl,

10 (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl, (C<sub>0</sub>-C<sub>8</sub>)alkyl-N(R<sup>6</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-C(O)OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O(CO)R<sup>5</sup>, or C(O)OR<sup>5</sup>;

R<sup>4</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, (C<sub>1</sub>-C<sub>4</sub>)alkyl-OR<sup>5</sup>, benzyl, aryl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, or (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl;

15

R<sup>5</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, or (C<sub>2</sub>-C<sub>5</sub>)heteroaryl;

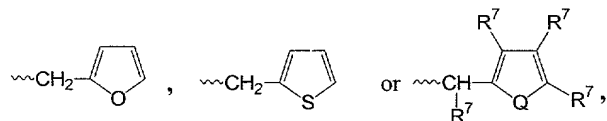
each occurrence of R<sup>6</sup> is independently H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, (C<sub>2</sub>-C<sub>5</sub>)heteroaryl, or (C<sub>0</sub>-C<sub>8</sub>)alkyl-C(O)O-R<sup>5</sup> or the R<sup>6</sup> groups can join to

20 form a heterocycloalkyl group; and

the \* represents a chiral-carbon center.

15. A compound of claim 14, wherein R<sup>1</sup> is H, (C<sub>1</sub>-C<sub>4</sub>)alkyl, CH<sub>2</sub>OCH<sub>3</sub>,

25 CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, or



30 wherein Q is O or S, and each occurrence of R<sup>7</sup> is independently H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,

(C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, halogen,

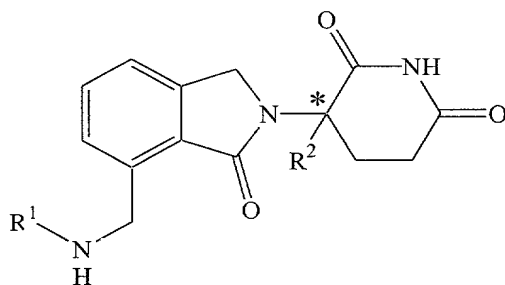
(C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl,

(C<sub>0</sub>-C<sub>8</sub>)alkyl-N(R<sup>6</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-C(O)OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O(CO)R<sup>5</sup>, or

C(O)OR<sup>5</sup>, or adjacent occurrences of R<sup>7</sup> can be taken together to form a bicyclic alkyl or aryl

35 ring.

16. A compound of claim 14, wherein  $R^1$  is  $C(O)R^3$ .
17. A compound of claim 14, wherein  $R^1$  is  $C(O)OR^4$ .
18. A compound of claim 1 having the formula:



or a pharmaceutically acceptable salt, hydrate, solvate, clathrate, enantiomer, diastereomer, racemate, or mixture of stereoisomers thereof, wherein:

$R^1$  is H,  $(C_1-C_8)$ alkyl,  $(C_3-C_7)$ cycloalkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl,  $(C_0-C_4)$ alkyl- $(C_1-C_6)$ heterocycloalkyl,  $(C_0-C_4)$ alkyl- $(C_2-C_5)$ heteroaryl,  $C(O)R^3$ ,  $C(S)R^3$ ,  $C(O)OR^4$ ,  $(C_1-C_8)$ alkyl- $N(R^6)_2$ ,  $(C_1-C_8)$ alkyl- $OR^5$ ,  $(C_1-C_8)$ alkyl- $C(O)OR^5$ ,  $C(O)NHR^3$ ,  $C(S)NHR^3$ ,  $C(O)NR^3R^3$ ,  $C(S)NR^3R^3$  or  $(C_1-C_8)$ alkyl- $O(CO)R^5$ ;

$R^2$  is H or  $(C_1-C_8)$ alkyl;

$R^3$  and  $R^3'$  are independently  $(C_1-C_8)$ alkyl,  $(C_3-C_7)$ cycloalkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl,  $(C_0-C_4)$ alkyl- $(C_1-C_6)$ heterocycloalkyl,  $(C_0-C_4)$ alkyl- $(C_2-C_5)$ heteroaryl,  $(C_0-C_8)$ alkyl- $N(R^6)_2$ ,  $(C_1-C_8)$ alkyl- $OR^5$ ,  $(C_1-C_8)$ alkyl- $C(O)OR^5$ ,  $(C_1-C_8)$ alkyl- $O(CO)R^5$ , or  $C(O)OR^5$ ;

$R^4$  is  $(C_1-C_8)$ alkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl,  $(C_1-C_4)$ alkyl- $OR^5$ , benzyl, aryl,  $(C_0-C_4)$ alkyl- $(C_1-C_6)$ heterocycloalkyl, or  $(C_0-C_4)$ alkyl- $(C_2-C_5)$ heteroaryl;

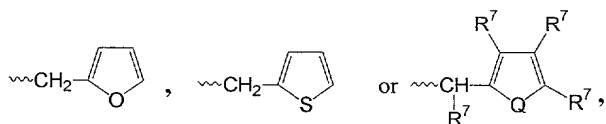
$R^5$  is  $(C_1-C_8)$ alkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl, or  $(C_2-C_5)$ heteroaryl;

each occurrence of  $R^6$  is independently H,  $(C_1-C_8)$ alkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl,  $(C_2-C_5)$ heteroaryl, or  $(C_0-C_8)$ alkyl- $C(O)O-R^5$  or the  $R^6$  groups can join to form a heterocycloalkyl group; and

the \* represents a chiral-carbon center.

19. A compound of claim 18, wherein R<sup>1</sup> is H, (C<sub>1</sub>-C<sub>4</sub>)alkyl, CH<sub>2</sub>OCH<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub> or

5



10 wherein Q is O or S, and each occurrence of R<sup>7</sup> is independently H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, halogen, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl, (C<sub>0</sub>-C<sub>8</sub>)alkyl-N(R<sup>6</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-C(O)OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O(CO)R<sup>5</sup>, or C(O)OR<sup>5</sup>, or adjacent occurrences of R<sup>7</sup> can be taken together to form a bicyclic alkyl or aryl  
 15 ring.

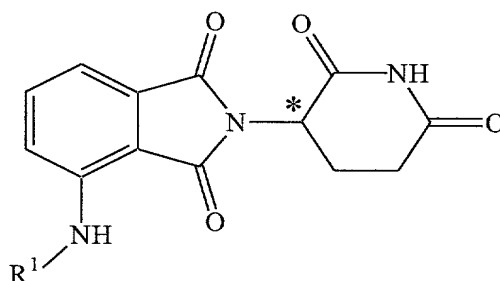
20. A compound of claim 18, wherein R<sup>1</sup> is C(O)R<sup>3</sup>.

21. A compound of claim 18, wherein R<sup>1</sup> is C(O)OR<sup>4</sup>.

20

22. A compound of claim 1 having the formula:

25



30 or a pharmaceutically acceptable salt, hydrate, solvate, clathrate, enantiomer, diastereomer, racemate, or mixture of stereoisomers thereof, wherein:

R<sup>1</sup> is H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl, C(O)R<sup>3</sup>, C(S)R<sup>3</sup>,  
 35 C(O)OR<sup>4</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-N(R<sup>6</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-C(O)OR<sup>5</sup>, C(O)NHR<sup>3</sup>, C(S)NHR<sup>3</sup>, C(O)NR<sup>3</sup>R<sup>3'</sup>, C(S)NR<sup>3</sup>R<sup>3'</sup> or (C<sub>1</sub>-C<sub>8</sub>)alkyl-O(CO)R<sup>5</sup>;

$R^3$  and  $R^3$  are independently  $(C_1-C_8)$ alkyl,  $(C_3-C_7)$ cycloalkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl,  $(C_0-C_4)$ alkyl- $(C_1-C_6)$ heterocycloalkyl,  $(C_0-C_4)$ alkyl- $(C_2-C_5)$ heteroaryl,  $(C_0-C_8)$ alkyl- $N(R^6)_2$ ,  $(C_1-C_8)$ alkyl- $OR^5$ ,  $(C_1-C_8)$ alkyl- $C(O)OR^5$ ,  $(C_1-C_8)$ alkyl- $O(CO)R^5$ , or  $C(O)OR^5$ ;

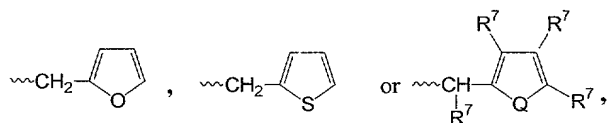
$R^4$  is  $(C_1-C_8)$ alkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl,  $(C_1-C_4)$ alkyl- $OR^5$ , benzyl, aryl,  $(C_0-C_4)$ alkyl- $(C_1-C_6)$ heterocycloalkyl, or  $(C_0-C_4)$ alkyl- $(C_2-C_5)$ heteroaryl;

$R^5$  is  $(C_1-C_8)$ alkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl, or  $(C_2-C_5)$ heteroaryl;

each occurrence of  $R^6$  is independently H,  $(C_1-C_8)$ alkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl,  $(C_2-C_5)$ heteroaryl, or  $(C_0-C_8)$ alkyl- $C(O)O-R^5$  or the  $R^6$  groups can join to form a heterocycloalkyl group; and

the \* represents a chiral-carbon center.

23. A compound of claim 22, wherein  $R^1$  is  $(C_1-C_8)$ alkyl, benzyl,  $CH_2OCH_3$ ,  $CH_2CH_2OCH_3$ , or



wherein Q is O or S, and each occurrence of  $R^7$  is independently H,  $(C_1-C_8)$ alkyl,  $(C_3-C_7)$ cycloalkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl, halogen,  $(C_0-C_4)$ alkyl- $(C_1-C_6)$ heterocycloalkyl,  $(C_0-C_4)$ alkyl- $(C_2-C_5)$ heteroaryl,  $(C_0-C_8)$ alkyl- $N(R^6)_2$ ,  $(C_1-C_8)$ alkyl- $OR^5$ ,  $(C_1-C_8)$ alkyl- $C(O)OR^5$ ,  $(C_1-C_8)$ alkyl- $O(CO)R^5$ , or  $C(O)OR^5$ , or adjacent occurrences of  $R^7$  can be taken together to form a bicyclic alkyl or aryl ring.

24. A compound of claim 22, wherein  $R^1$  is  $C(O)R^3$ .

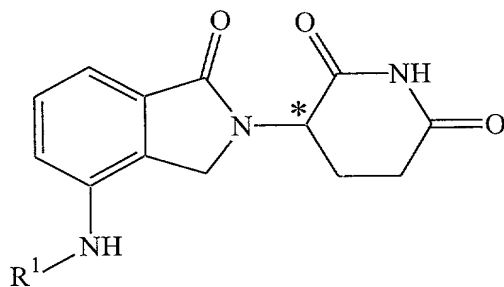
25. A compound of claim 24, wherein  $R^3$  is  $(C_0-C_4)$ alkyl- $(C_2-C_5)$ heteroaryl,  $(C_1-C_8)$ alkyl, aryl, or  $(C_0-C_4)$ alkyl- $OR^5$ .



26. A compound of claim 25, wherein heteroaryl is pyridyl, furyl, or thienyl.

27. A compound of claim 22, wherein  $R^1$  is  $C(O)OR^4$ .

28. A compound of claim 1 having the formula:



or a pharmaceutically acceptable salt, hydrate, solvate, clathrate, enantiomer, diastereomer, racemate, or mixture of stereoisomers thereof, wherein:

$R^1$  is H,  $(C_1-C_8)$ alkyl,  $(C_3-C_7)$ cycloalkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl,  $(C_0-C_4)$ alkyl- $(C_1-C_6)$ heterocycloalkyl,  $(C_0-C_4)$ alkyl- $(C_2-C_5)$ heteroaryl,  $C(O)R^3$ ,  $C(S)R^3$ ,  $C(O)OR^4$ ,  $(C_1-C_8)$ alkyl- $N(R^6)_2$ ,  $(C_1-C_8)$ alkyl- $OR^5$ ,  $(C_1-C_8)$ alkyl- $C(O)OR^5$ ,  $C(O)NHR^3$ ,  $C(S)NHR^3$ ,  $C(O)NR^3R^3$ ,  $C(S)NR^3R^3$  or  $(C_1-C_8)$ alkyl- $O(CO)R^5$ ;

$R^3$  and  $R^3$  are independently  $(C_1-C_8)$ alkyl,  $(C_3-C_7)$ cycloalkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl,  $(C_0-C_4)$ alkyl- $(C_1-C_6)$ heterocycloalkyl,  $(C_0-C_4)$ alkyl- $(C_2-C_5)$ heteroaryl,  $(C_0-C_8)$ alkyl- $N(R^6)_2$ ,  $(C_1-C_8)$ alkyl- $OR^5$ ,  $(C_1-C_8)$ alkyl- $C(O)OR^5$ ,  $(C_1-C_8)$ alkyl- $O(CO)R^5$ , or  $C(O)OR^5$ ;

$R^4$  is  $(C_1-C_8)$ alkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl,  $(C_1-C_4)$ alkyl- $OR^5$ , benzyl, aryl,  $(C_0-C_4)$ alkyl- $(C_1-C_6)$ heterocycloalkyl, or  $(C_0-C_4)$ alkyl- $(C_2-C_5)$ heteroaryl;

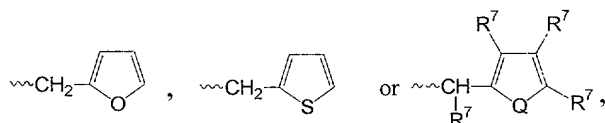
$R^5$  is  $(C_1-C_8)$ alkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl, or  $(C_2-C_5)$ heteroaryl;

each occurrence of  $R^6$  is independently H,  $(C_1-C_8)$ alkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl,  $(C_2-C_5)$ heteroaryl, or  $(C_0-C_8)$ alkyl- $C(O)O-R^5$  or the  $R^6$  groups can join to form a heterocycloalkyl group; and

the \* represents a chiral-carbon center.

29. A compound of claim 28, wherein  $R^1$  is  $(C_1-C_8)$ alkyl, benzyl,  $CH_2OCH_3$ ,  $CH_2CH_2OCH_3$ , or

5



wherein Q is O or S, and each occurrence of  $R^7$  is independently H,  $(C_1-C_8)$ alkyl,  $(C_3-C_7)$ cycloalkyl,  $(C_2-C_8)$ alkenyl,  $(C_2-C_8)$ alkynyl, benzyl, aryl, halogen,

10  $(C_0-C_4)$ alkyl- $(C_1-C_6)$ heterocycloalkyl,  $(C_0-C_4)$ alkyl- $(C_2-C_5)$ heteroaryl,  $(C_0-C_8)$ alkyl- $N(R^6)_2$ ,  $(C_1-C_8)$ alkyl- $OR^5$ ,  $(C_1-C_8)$ alkyl- $C(O)OR^5$ ,  $(C_1-C_8)$ alkyl- $O(CO)R^5$ , or  $C(O)OR^5$ , or adjacent occurrences of  $R^7$  can be taken together to form a bicyclic alkyl or aryl ring.

15 30. A compound of claim 28, wherein  $R^1$  is  $C(O)R^3$ .

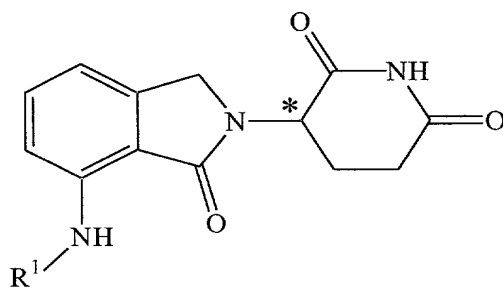
31. A compound of claim 30, wherein  $R^3$  is  $(C_0-C_4)$ alkyl- $(C_2-C_5)$ heteroaryl,  $(C_1-C_8)$ alkyl, aryl, or  $(C_0-C_4)$ alkyl- $OR^5$ .

20 32. A compound of claim 31, wherein heteroaryl is pyridyl, furyl, or thienyl.

33. A compound of claim 28, wherein  $R^1$  is  $C(O)OR^4$ .

34. A compound of claim 1 having the formula:

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or a pharmaceutically acceptable salt, hydrate, solvate, clathrate, enantiomer, diastereomer, racemate, or mixture of stereoisomers thereof, wherein:

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R<sup>1</sup> is H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl, C(O)R<sup>3</sup>, C(S)R<sup>3</sup>, C(O)OR<sup>4</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-N(R<sup>6</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-C(O)OR<sup>5</sup>, C(O)NHR<sup>3</sup>, C(S)NHR<sup>3</sup>, C(O)NR<sup>3</sup>R<sup>3'</sup>, C(S)NR<sup>3</sup>R<sup>3'</sup> or (C<sub>1</sub>-C<sub>8</sub>)alkyl-O(CO)R<sup>5</sup>;

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R<sup>3</sup> and R<sup>3'</sup> are independently (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl, (C<sub>0</sub>-C<sub>8</sub>)alkyl-N(R<sup>6</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-C(O)OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O(CO)R<sup>5</sup>, or C(O)OR<sup>5</sup>;

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R<sup>4</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, (C<sub>1</sub>-C<sub>4</sub>)alkyl-OR<sup>5</sup>, benzyl, aryl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, or (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl;

R<sup>5</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, or (C<sub>2</sub>-C<sub>5</sub>)heteroaryl;

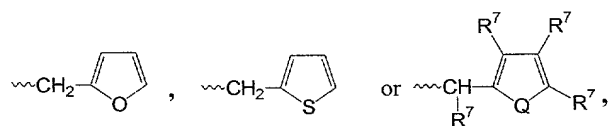
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each occurrence of R<sup>6</sup> is independently H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, (C<sub>2</sub>-C<sub>5</sub>)heteroaryl, or (C<sub>0</sub>-C<sub>8</sub>)alkyl-C(O)O-R<sup>5</sup> or the R<sup>6</sup> groups can join to form a heterocycloalkyl group; and

20 the \* represents a chiral-carbon center.

35. A compound of claim 34, wherein R<sup>1</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, benzyl, CH<sub>2</sub>OCH<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, or

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wherein Q is O or S, and each occurrence of R<sup>7</sup> is independently H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkenyl, (C<sub>2</sub>-C<sub>8</sub>)alkynyl, benzyl, aryl, halogen,

30 (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl, (C<sub>0</sub>-C<sub>8</sub>)alkyl-N(R<sup>6</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-C(O)OR<sup>5</sup>, (C<sub>1</sub>-C<sub>8</sub>)alkyl-O(CO)R<sup>5</sup>, or C(O)OR<sup>5</sup>, or adjacent occurrences of R<sup>7</sup> can be taken together to form a bicyclic alkyl or aryl ring.

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36. A compound of claim 34, wherein R<sup>1</sup> is C(O)R<sup>3</sup>.

37. A compound of claim 36, wherein R<sup>3</sup> is (C<sub>0</sub>-C<sub>4</sub>)alkyl-(C<sub>2</sub>-C<sub>5</sub>)heteroaryl, (C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl, or (C<sub>0</sub>-C<sub>4</sub>)alkyl-OR<sup>5</sup>.

38. A compound of claim 37, wherein heteroaryl is pyridyl, furyl, or thienyl.

39. A compound of claim 34, wherein R<sup>1</sup> is C(O)OR<sup>4</sup>.

40. A compound of claim 1 having the formula:

I-1 (2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1*H*-isoindol-4-ylmethyl)-carbamic acid *tert*-butyl ester;

I-2 4-(aminomethyl)-2-(2,6-dioxo(3-piperidyl))-isoindoline-1,3-dione;

I-3 *N*-(2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1*H*-isoindol-4-ylmethyl)-acetamide;

I-4 *N*-{(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisoindolin-4-yl)methyl}cyclopropyl-carboxamide;

I-5 (2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1*H*-isoindol-4-ylmethyl)-carbamic acid ethyl ester;

I-6 2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1*H*-isoindol-4-ylmethyl)-carbamic acid benzyl ester;

I-7 2-chloro-*N*-{(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisoindolin-4-yl)methyl}acetamide;

I-8 2-(dimethylamino)-*N*-{(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisoindolin-4-yl)methyl}-acetamide;

I-9 1-*tert*-butyl-3-(2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1*H*-isoindol-4-ylmethyl)-urea;

I-10 *N*-{(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisoindolin-4-yl)methyl}-3,3-dimethylbutanamide;

- 10

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- I-24 *N*-{(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)methyl}-2-methoxyacetamide;
- 5 I-25 (*N*-{(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)methyl} carbamoyl)methyl acetate;
- I-26 ethyl 2-((*N*-{(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)methyl} carbamoyl) amino)acetate;
- 10 I-27 *N*-{(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)methyl}(ethylamino)carboxamide;
- I-28 2-(2,6-Dioxo(3-piperidyl))-4-[(2-furylmethyl)amino]isoindoline-1,3-dione
- 15 I-29 *N*-(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)-2-methoxyacetamide;
- I-30 *N*-(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)heptanamide;
- 20 I-31 {*N*-(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)carbamoyl}methyl acetate;
- I-32 *N*-(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)pentanamide;
- 25 I-33 *N*-(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)-2-thienylcarboxamide;
- I-34 methyl {*N*-(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)carbamoyl} formate;
- 30 I-35 *N*-(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)-2-furylcarboxamide;
- I-36 *N*-(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)benzamide;
- 35 I-37 *N*-(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)propanamide;

- I-38 methyl 3- $\{N$ -(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)carbamoyl}propanoate;
- I-39  $N$ -(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)-2-phenylacetamide;
- I-40  $N$ -(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)-2-pyridylcarboxamide;
- I-41  $N$ -(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)-2-chloroacetamide;
- I-42 2-azido- $N$ -(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)acetamide;
- I-43 2-amino- $N$ -(2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl)acetamide;
- I-44  $N$ -(2-(2,6-dioxo(3-piperidyl))-1-oxoisindolin-4-yl)-2-chloroacetamide;
- I-45 2-azido- $N$ -(2-(2,6-dioxo(3-piperidyl))-1-oxoisindolin-4-yl)acetamide;
- I-46 2-amino- $N$ -(2-(2,6-dioxo(3-piperidyl))-1-oxoisindolin-4-yl)acetamide;
- I-47 3- $\{4$ -((2-furylmethyl)amino)-1-oxoisindolin-2-yl}piperidine-2,6-dione; or
- I-48 3-(1-oxo-4-(pentylamino)isindolin-2-yl)piperidine-2,6-dione;
- I-49 2-(2,6-dioxo-piperidin-3-yl)-4-(2-methoxy-ethylamino)-isoindole-1,3-dione;
- I-50 2-benzyloxy- $N$ -[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-acetamide;
- I-51 2-(2,6-dioxo-piperidin-3-yl)-4-pentylamino-isoindole-1,3-dione;
- I-52 3-chloro- $N$ -[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-benzamide;
- I-53  $N$ -[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-2-phenoxy-acetamide;

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I-54 4-(2-benzyloxy-ethylamino)-2-(2,6-dioxo-piperidin-3-yl)-isoindole-1,3-dione;

I-55 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-3-fluoro-benzamide;

I-56 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-3-methyl-benzamide;

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I-57 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-3-methoxy-benzamide;

I-58 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-3-trifluoromethyl-benzamide;

15

I-59 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-3-nitro-benzamide;

I-60 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-butyramide;

20

I-61 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-2-methylamino-acetamide;

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I-62 2-(2,6-dioxo-piperidin-3-yl)-4-heptylamino-isoindole-1,3-dione;

I-63 4-chloro-N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-benzamide;

30

I-64 cyclopropanecarboxylic acid [2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-amide;

I-65 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-4-fluoro-benzamide;

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- I-66 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-4-trifluoromethyl-benzamide;
- 5 I-67 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-4-methyl-benzamide;
- I-68 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-4-nitro-benzamide;
- 10 I-69 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-2-ethoxy-acetamide;
- I-70 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-2-methylsulfanyl-acetamide;
- 15 I-71 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-2-methoxy-benzamide;
- I-72 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-2-fluoro-benzamide;
- 20 I-73 7-amino-N-{{2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisoindolin-4-yl}methyl}heptanamide;
- 25 I-74 N-{{2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisoindolin-4-yl}methyl}butanamide;
- I-75 N-{{2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisoindolin-4-yl}methyl}benzamide;
- 30 I-76 N-{{2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisoindolin-4-yl}methyl}phenylacetamide;
- I-77 N-{{2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisoindolin-4-yl}methyl}-2-pyridylcarboxamide;
- 35 I-78 N-{{2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisoindolin-4-yl}methyl}undecamide;

- I-79 N- {[2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl]methyl}-2-methylpropanamide;
- 5 I-80 N- {[2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl]methyl}cyclopentylcarboxamide;
- I-81 N- {[2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl]methyl}cyclohexylcarboxamide;
- 10 I-82 N- {[2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl]methyl}(phenylamino)carboxamide;
- I-83 N- {[2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl]methyl}(butylamino)carboxamide;
- 15 I-84 N- {[2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl]methyl}(propylamino)carboxamide;
- I-85 N- {[2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl]methyl}(cyclohexylamino)carboxamide ;
- 20 I-86 N- {[2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl]methyl}[(methylethylamino)]carboxamide;
- 25 I-87 N- {[2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl]methyl}(octylamino)carboxamide;
- I-88 N- {[2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl]methyl}(benzylamino)carboxamide;
- 30 I-89 N- {[2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl]methyl}(cyclopropylamino)carboxamide;
- I-90 2-chloro-N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-benzamide;
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I-98 2-dimethylamino-N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-acetamide;

I-99 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-2-methyl-benzamide;

I-100 Heptanoic acid[2-(2,6-dioxo-piperidin-3-yl)-1-oxo-2,3-dihydro-1H-isoindol-4-yl]-dihydro-1H-isoindol-4-yl]-amide;

I-101 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-3,3-dimethyl-butyramide;

I-102 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-isobutyramide;

- 5 I-103 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-3-phenyl-propionamide;
- I-104 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-4-methoxy-benzamide
- 10 I-105 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-2-trifluoromethyl-benzamide;
- I-106 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-malonamic acid methyl ester;
- 15 I-107 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-3-methoxy-propionamide;
- I-108 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl]-2-hydroxy-acetamide
- 20 I-109 4-[(furan-2-ylmethyl)-amino]-2-(1-methyl-2,6-dioxo-piperidin-3-yl)-isoindole-1,3-dione;
- I-110 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-ylmethyl]-isonicotinamide;
- 25 I-111 N-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-ylmethyl]-acetamide;
- I-112 {5-[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-ylcarbamoyl]-pentyl}-carbamic acid benzyl ester;
- 30 I-113 2-(2,6-Dioxo(3-piperidyl))-4-({[(cyclohexylamino)thioxomethyl]amino}methyl)isoindole -1,3-dione;
- 35 I-114 2-(2,6-Dioxo(3-piperidyl))-4-({[(ethylamino)thioxomethyl]amino}methyl)isoindole -1,3-dione;

- 5 I-115 2-(2,6-Dioxo(3-piperidyl))-4-({[(propylamino)thioxomethyl]amino} methyl)isoindole -1,3-dione;
- I-116 N-[2-(2,6-dioxo(3-piperidyl))-1,3-dioxoisoindolin-4-yl]-2-chloro- benzylamine;
- I-117 {5-[2-(2,6-Dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4- ylcarbamoyl]-pentyl}-carbamic acid benzyl ester;
- 10 I-118 2-Methoxy-N-[2-(3-methyl-2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro- 1H-isoindol-4-yl]-acetamide;
- I-119 Pentanoic acid [2-(3-methyl-2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3- dihydro-1H-isoindol-4-yl]-amide;
- 15 I-120 Heptanoic acid [2-(3-methyl-2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3- dihydro-1H-isoindol-4-yl]-amide;
- I-121 3-Chloro-N-[2-(3-methyl-2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro- 1H-isoindol-4-yl]-benzamide;
- 20 I-122 N-[2-(3-Methyl-2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H- isoindol-4-yl]-propionamide;
- I-123 Thiophene-2-carboxylic acid [2-(3-methyl-2,6-dioxo-piperidin-3-yl)-1,3- dioxo-2,3-dihydro-1H-isoindol-4-yl]-amide;
- I-124 2-(2,6-Dioxo-piperidin-3-yl)-4-[(5-methyl-furan-2-ylmethyl)-amino]- isoindole-1,3-dione;
- 30 I-125 2-(2,6-Dioxo-piperidin-3-yl)-4-[(5-hydroxymethyl-furan-2-ylmethyl)- amino]-isoindole-1,3-dione;
- I-126 2-(2,6-Dioxo-piperidin-3-yl)-4-[(thiophen-2-ylmethyl)-amino]-isoindole-1,3- dione;
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I-139 Cyclopropyl-N- {[2-(3-methyl-2,6-dioxo(3-piperidyl))-1,3-dioxoisindolin-4-yl]methyl}carboxamide;

I-140 N- {[2-(2,6-Dioxo(3-piperidyl))-1-oxoisindolin-4-yl]methyl}cyclopropylcarboxamide;

I-141 N- {[2-(2,6-Dioxo(3-piperidyl))-1-oxoisindolin-4-yl]methyl}(ethylamino)carboxamide; or

I-142 Piperazine-1-carboxylic acid  
[2-(2,6-dioxo-piperidin-3-yl)-1,3-dioxo-2,3-dihydro-1H-isoindol-4-ylmethyl]-amide

or a pharmaceutically acceptable salt, hydrate, solvate, clathrate, enantiomer, diastereomer, racemate, or mixture of stereoisomers thereof.

41. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 and a pharmaceutically acceptable vehicle or carrier.

42. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 10 and a pharmaceutically acceptable vehicle or carrier.

43. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 14 and a pharmaceutically acceptable vehicle or carrier.

44. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 18 and a pharmaceutically acceptable vehicle or carrier.

45. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 22 and a pharmaceutically acceptable vehicle or carrier.

46. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 28 and a pharmaceutically acceptable vehicle or carrier.

47. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 34 and a pharmaceutically acceptable vehicle or carrier.

48. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 40 and a pharmaceutically acceptable vehicle or carrier.

49. A method of modulating the production of TNF- $\alpha$  in a mammal comprising administering to said mammal an effective amount of a compound of claim 1.

50. A method of modulating the production of IL-1 $\beta$  in a mammal comprising administering to said mammal an effective amount of a compound of claim 1.

51. A method of modulating the production of IL-10 in a mammal comprising administering to said mammal an effective amount of a compound of claim 1.

52. A method of modulating the production of T-cells in a mammal comprising administering to said mammal an effective amount of a compound of claim 1.

53. A method of treating cancer in a mammal, comprising administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1, 10, 14, 18, 22, 28, 34, or 40.

54. The method of claim 53, wherein the cancer is a solid tumor or a blood born tumor.

55. The method of claim 53, wherein the cancer is cancer of the skin, blood, lymph node, breast, cervix, uterus, gastrointestinal tract, lung, ovary, prostate, mouth, brain, head, neck, throat, colon, rectum, testes, kidney, pancreas, bone, spleen, liver, bladder, larynx, or nasal passages.

56. The method of claim 53, wherein the cancer is melanoma, multiple myeloma, or a leukemia.

57. A method of treating cancer in a mammal, comprising administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1 and another chemotherapeutic agent.



58. The method of claim 57, wherein the other cancer chemotherapeutic agent is paclitaxel, cisplatin, tamoxifen, docetaxel, epirubicin, doxorubicin, irinotecan, leuprolide, bicalutamide, goserelin implant, gemcitabine, or sargramostim.

5 59. The method of claim 57, wherein the other cancer chemotherapeutic agent is an anti-cancer vaccine.

60. A method of treating an inflammatory disorder in a mammal, comprising administering to a mammal in need thereof a therapeutically effective amount of a  
10 compound of claim 1.

61. The method of claim 60, wherein the inflammatory disorder is arthritis, rheumatoid spondylitis, psoriasis, inflammatory bowel disease, post ischemic perfusion injury, or chronic inflammatory pulmonary disease.

15 62. The method of claim 61, wherein the arthritis is rheumatoid arthritis or osteoarthritis.

63. A method of treating heart disease in a mammal comprising administering to  
20 a mammal in need thereof a therapeutically effective amount of a compound of claim 1.

64. A method of modulating the production of TNF- $\alpha$  in a mammalian cell or tissue comprising contacting an effective amount of a compound of claim 1.

25 65. A method of modulating the production of IL-1 $\beta$  in a mammalian cell or tissue comprising contacting an effective amount of a compound of claim 1.

66. A method of modulating the production of IL-10 in a mammalian cell or tissue comprising contacting an effective amount of a compound of claim 1.

30 67. A method of modulating the production of T-cells in a mammalian cell or tissue comprising contacting an effective amount of a compound of claim 1.

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